

ABSTRACT

The present invention relates to novel, non-secosteroidal, phenyl-benzothiophene compounds with vitamin D receptor (VDR) modulating activity that are less hypercalcemic than 1,25 dihydroxy vitamin D₃. These compounds are useful for treating bone disease and psoriasis.

X-16541

PCT

Original (for SUBMISSION)

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| 13-2-6 | Validation messages Declarations | Green? Manually inputted data. Please verify the contents of the information provided. |
| | Validation messages Declarations | Green? Manually inputted data. Please verify the contents of the information provided. |
| 13-2-7 | Validation messages Contents | Green? The international application contains no drawings. Please verify. |
| | Validation messages Contents | Green? Reference number for attached copy of general power of attorney not indicated. |
| 13-2-8 | Validation messages Fees | Green? Please confirm that fee schedule utilized is the latest available |
| 13-2-9 | Validation messages Payment | Green? Please ensure that you have a valid deposit account with the receiving Office selected. |

PCT REQUEST

Original (for SUBMISSION)

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| 0 | For receiving Office use only | |
| 0-1 | International Application No. | |
| 0-2 | International Filing Date | |
| 0-3 | Name of receiving Office and "PCT International Application" | |
| 0-4 | Form PCT/RO/101 PCT Request | |
| 0-4-1 | Prepared Using | PCT-SAFE [EASY mode] Version 3.50 (Build 0002.163) |
| 0-5 | Petition The undersigned requests that the present international application be processed according to the Patent Cooperation Treaty | |
| 0-6 | Receiving Office (specified by the applicant) | United States Patent and Trademark Office (USPTO) (RO/US) |
| 0-7 | Applicant's or agent's file reference | X16541 |
| I | Title of Invention | VITAMIN D RECEPTOR MODULATORS |
| II | Applicant | |
| II-1 | This person is | applicant only |
| II-2 | Applicant for | all designated States except US |
| II-4 | Name | ELI LILLY AND COMPANY |
| II-5 | Address | Lilly Corporate Center Indianapolis, Indiana 46285 United States of America |
| II-6 | State of nationality | US |
| II-7 | State of residence | US |
| II-8 | Telephone No. | 317-276-0755 |
| II-9 | Facsimile No. | 317-276-3861 |
| III-1 | Applicant and/or Inventor | |
| III-1-1 | This person is | applicant and inventor |
| III-1-2 | Applicant for | US only |
| III-1-4 | Name (LAST, First) | LU, Jianliang |
| III-1-5 | Address | 11921 Castletone Drive Fishers, Indiana 46038 United States of America |
| III-1-6 | State of nationality | CN |
| III-1-7 | State of residence | US |

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|--------------|----------------------------------|--|
| III-2 | Applicant and/or inventor | |
| III-2-1 | This person is | applicant and inventor |
| III-2-2 | Applicant for | US only |
| III-2-4 | Name (LAST, First) | MA, Tainwei |
| III-2-5 | Address | 5676 Sapphire Drive Carmel, Indiana 46033 United States of America |
| III-2-6 | State of nationality | CN |
| III-2-7 | State of residence | US |
| III-3 | Applicant and/or inventor | |
| III-3-1 | This person is | applicant and inventor |
| III-3-2 | Applicant for | US only |
| III-3-4 | Name (LAST, First) | NAGPAL, Sunil |
| III-3-5 | Address | 5258 Comanche Trail Carmel, Indiana 46033 United States of America |
| III-3-6 | State of nationality | US |
| III-3-7 | State of residence | US |
| III-4 | Applicant and/or inventor | |
| III-4-1 | This person is | applicant and inventor |
| III-4-2 | Applicant for | US only |
| III-4-4 | Name (LAST, First) | SHEN, Quanrong |
| III-4-5 | Address | 10565 Harlowe Drive Fishers, Indiana 46038 United States of America |
| III-4-6 | State of nationality | CN |
| III-4-7 | State of residence | US |
| III-5 | Applicant and/or inventor | |
| III-5-1 | This person is | applicant and inventor |
| III-5-2 | Applicant for | US only |
| III-5-4 | Name (LAST, First) | WARSHAWSKY, Alan, M. |
| III-5-5 | Address | 13828 Springmill Ponds Circle Carmel, Indiana 46032 United States of America |
| III-5-6 | State of nationality | US |
| III-5-7 | State of residence | US |

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|---------|---|---|
| III-6 | Applicant and/or inventor | |
| III-6-1 | This person is | applicant and inventor |
| III-6-2 | Applicant for | US only |
| III-6-4 | Name (LAST, First) | YEE, Ying, Kwong |
| III-6-5 | Address | 5127 Briarstone Trace Carmel, Indiana 46033 United States of America |
| III-6-6 | State of nationality | US |
| III-6-7 | State of residence | US |
| III-7 | Applicant and/or inventor | |
| III-7-1 | This person is | applicant and inventor |
| III-7-2 | Applicant for | US only |
| III-7-4 | Name (LAST, First) | RUPP, Michael, John |
| III-7-5 | Address | 10003 Scotchpine Lane Indianapolis, Indiana 46256 United States of America |
| III-7-6 | State of nationality | US |
| III-7-7 | State of residence | US |
| IV-1 | Agent or common representative; or address for correspondence The person identified below is hereby/ has been appointed to act on behalf of the applicant(s) before the competent International Authorities as: | agent |
| IV-1-1 | Name (LAST, First) | BOUDREAUX, William, R. |
| IV-1-2 | Address | ELI LILLY AND COMPANY P. O. Box 6288 Indianapolis, Indiana 46206-6288 United States of America |
| IV-1-3 | Telephone No | 317-276-0755 |
| IV-1-4 | Facsimile No. | 317-276-3861 |
| IV-1-6 | Agent's registration No | 35,796 |
| IV-2 | Additional agent(s) | additional agent(s) with same address as first named agent |
| IV-2-1 | Name(s) | BATES, Michael, T. (34,121) |
| V | DESIGNATIONS | |
| V-1 | The filing of this request constitutes under Rule 4.9(a), the designation of all Contracting States bound by the PCT on the international filing date, for the grant of every kind of protection available and, where applicable, for the grant of both regional and national patents. | |

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| VI-1 | Priority claim of earlier national application | | |
| VI-1-1 | Filing date | 20 November 2003 (20.11.2003) | |
| VI-1-2 | Number | 60/523,600 | |
| VI-1-3 | Country | US | |
| VI-2 | Priority document request | | |
| | The receiving Office is requested to prepare and transmit to the International Bureau a certified copy of the earlier application(s) identified above as item(s): | VI - 1 | |
| VII-1 | International Searching Authority Chosen | European Patent Office (EPO) (ISA/EP) | |
| VIII | Declarations | Number of declarations | |
| VIII-1 | Declaration as to the identity of the inventor | - | |
| VIII-2 | Declaration as to the applicant's entitlement, as at the international filing date, to apply for and be granted a patent | 1 | |
| VIII-3 | Declaration as to the applicant's entitlement, as at the international filing date, to claim the priority of the earlier application | 1 | |
| VIII-4 | Declaration of inventorship (only for the purposes of the designation of the United States of America) | 1 | |
| VIII-5 | Declaration as to non-prejudicial disclosures or exceptions to lack of novelty | - | |

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Original (for SUBMISSION)

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| VIII-2-1 | <p>Declaration: Entitlement to apply for and be granted a patent Declaration as to the applicant's entitlement, as at the international filing date, to apply for and be granted a patent (Rules 4.17(ii) and 51bis.1(a)(ii)), in a case where the declaration under Rule 4.17(iv) is not appropriate: Name (LAST, First)</p> | <p>in relation to this international application</p> <p>ELI LILLY AND COMPANY is entitled to apply for and be granted a patent by virtue of the following:</p> |
| VIII-2-1(i) | | ELI LILLY AND COMPANY is entitled as employer of the inventor, LU, Jianliang |
| VIII-2-1(i) | | ELI LILLY AND COMPANY is entitled as employer of the inventor, MA, Tainwei |
| VIII-2-1(i) | | ELI LILLY AND COMPANY is entitled as employer of the inventor, NAGPAL, Sunil |
| VIII-2-1(i) | | ELI LILLY AND COMPANY is entitled as employer of the inventor, SHEN, Quanrong |
| VIII-2-1(i) | | ELI LILLY AND COMPANY is entitled as employer of the inventor, WARSHAWSKY, Alan, M. |
| VIII-2-1(i) | | ELI LILLY AND COMPANY is entitled as employer of the inventor, YEE, Ying, Kwong |
| VIII-2-1(i) | | ELI LILLY AND COMPANY is entitled as employer of the inventor, RUPP, Michael, John |
| VIII-2-1(i)x) | This declaration is made for the purposes of: | all designations except the designation of the United States of America |

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| VIII-3-1 | Declaration: Entitlement to claim priority Declaration as to the applicant's entitlement, as at the international filing date, to claim the priority of the earlier application specified below, where the applicant is not the applicant who filed the earlier application or where the applicant's name has changed since the filing of the earlier application (Rules 4.17(iii) and 51bis.1(a)(iii)) Name | in relation to this international application ELI LILLY AND COMPANY is entitled to claim priority of earlier application No. 60/523,600 by virtue of the following: |
| VIII-3-1(i)v) | | an assignment from LU, Jianliang to ELI LILLY AND COMPANY, dated 19 February 2004 (19.02.2004) |
| VIII-3-1(i)v) | | an assignment from MA, Tainwei to ELI LILLY AND COMPANY, dated 19 February 2004 (19.02.2004) |
| VIII-3-1(i)v) | | an assignment from NAGPAL, Sunil to ELI LILLY AND COMPANY, dated 19 February 2004 (19.02.2004) |
| VIII-3-1(i)v) | | an assignment from SHEN, Quanrong to ELI LILLY AND COMPANY, dated 19 February 2004 (19.02.2004) |
| VIII-3-1(i)v) | | an assignment from WARSHAWSKY, Alan, M. to ELI LILLY AND COMPANY, dated 28 January 2004 (28.01.2004) |
| VIII-3-1(i)x) | This declaration is made for the purposes of: | AP: (BW GH GM KE LS MW MZ NA SD SL SZ TZ UG ZM ZW); EA: (AM AZ BY KG KZ MD RU TJ TM); EP: (AT BE BG CH&LI CY CZ DE DK EE ES FI FR GB GR HU IE IT LU MC NL PL PT RO SE SI SK TR); OA: (BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG); AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH&LI CN CO CR CU CZ DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NA NI NO NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG UZ VC VN YU ZA ZM ZW |

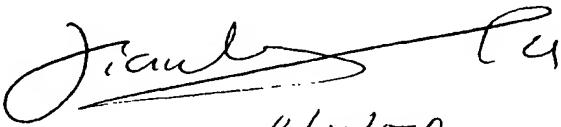
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Original (for SUBMISSION)

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| VIII-4-1 | <p>Declaration: Inventorship (only for the purposes of the designation of the United States of America) Declaration of Inventorship (Rules 4.17(iv) and 51bis.1(a)(iv)) for the purposes of the designation of the United States of America:</p> | <p>I hereby declare that I believe I am the original, first and sole (if only one inventor is listed below) or joint (if more than one inventor is listed below) inventor of the subject matter which is claimed and for which a patent is sought.</p> <p>This declaration is directed to the international application of which it forms a part (if filing declaration with application).</p> <p>I hereby declare that my residence, mailing address, and citizenship are as stated next to my name.</p> <p>I hereby state that I have reviewed and understand the contents of the above-identified international application, including the claims of said application. I have identified in the request of said application, in compliance with PCT Rule 4.10, any claim to foreign priority, and I have identified below, under the heading "Prior Applications", by application number, country or Member of the World Trade Organization, day, month, and year of filing, any application for a patent or inventor's certificate filed in a country other than the United States of America, including any PCT international application designating at least one country other than the United States of America, having a filing date before that of the application on which foreign priority is claimed.</p> |
| VIII-4-1-1 | Prior applications: | |



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| | | <p>I hereby acknowledge the duty to disclose information that is known by me to be material to patentability as defined by 37 C.F.R. § 1.56, including for continuation-in-part applications, material information which became available between the filing date of the prior application and the PCT international filing date of the continuation-in-part application.</p> <p>I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.</p> |
| VIII-4-1-1-1 | Name (LAST, First) | LU, Jianliang |
| VIII-4-1-1-2 | Residence: (city and either US State, if applicable, or country) | Fishers, Indiana |
| VIII-4-1-1-3 | Mailing address: | 11921 Castletone Drive Fishers, IN 46038 United States of America |
| VIII-4-1-1-4 | Citizenship: | CN |
| VIII-4-1-1-5 | Inventor's Signature: (if not contained in the request, or if declaration is corrected or added under Rule 26ter after the filing of the international application. The signature must be that of the inventor, not that of the agent) |  |
| VIII-4-1-1-6 | Date: (of signature which is not contained in the request, or of the declaration that is corrected or added under Rule 26ter after the filing of the international application) | 11/11/08 |



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|--------------|---|--|
| VIII-4-1-2-1 | Name (LAST, First) | MA, Tainwei |
| VIII-4-1-2-2 | Residence: (city and either US State, if applicable, or country) | Carmel, Indiana |
| VIII-4-1-2-3 | Mailing address. | 5676 Sapphire Drive Carmel, IN 46033 United States of America |
| VIII-4-1-2-4 | Citizenship. | CN |
| VIII-4-1-2-5 | Inventor's Signature: (if not contained in the request, or if declaration is corrected or added under Rule 26ter after the filing of the international application. The signature must be that of the inventor, not that of the agent) |  |
| VIII-4-1-2-6 | Date (of signature which is not contained in the request, or of the declaration that is corrected or added under Rule 26ter after the filing of the international application) | 11/11/2004. |
| VIII-4-1-3-1 | Name (LAST, First) | NAGPAL, Sunil |
| VIII-4-1-3-2 | Residence: (city and either US State, if applicable, or country) | Carmel, Indiana |
| VIII-4-1-3-3 | Mailing address: | 5258 Comanche Trail Carmel, IN 46033 United States of America |
| VIII-4-1-3-4 | Citizenship: | US |
| VIII-4-1-3-5 | Inventor's Signature: (if not contained in the request, or if declaration is corrected or added under Rule 26ter after the filing of the international application. The signature must be that of the inventor, not that of the agent) |  |
| VIII-4-1-3-6 | Date (of signature which is not contained in the request, or of the declaration that is corrected or added under Rule 26ter after the filing of the international application) | 11.11.04 |

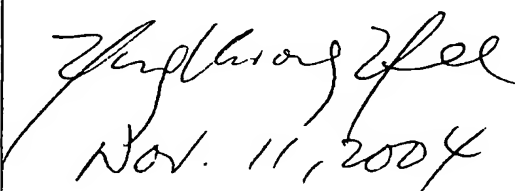

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| VIII-4-1-4-1 | Name (LAST, First) | SHEN, Quanrong |
| VIII-4-1-4-2 | Residence: (city and either US State, if applicable, or country) | Fishers, Indiana |
| VIII-4-1-4-3 | Mailing address: | 10565 Harlowe Drive Fishers, IN 46038 United States of America |
| VIII-4-1-4-4 | Citizenship: | CN |
| VIII-4-1-4-5 | Inventor's Signature: (if not contained in the request, or if declaration is corrected or added under Rule 26ter after the filing of the international application. The signature must be that of the inventor, not that of the agent) |  |
| VIII-4-1-4-6 | Date (of signature which is not contained in the request, or of the declaration that is corrected or added under Rule 26ter after the filing of the international application) | Nov. 11, 2004 |
| VIII-4-1-5-1 | Name (LAST, First) | WARSHAWSKY, Alan, M. |
| VIII-4-1-5-2 | Residence: (city and either US State, if applicable, or country) | Carmel, Indiana |
| VIII-4-1-5-3 | Mailing address: | 13828 Springmill Ponds Circle Carmel, IN 46032 United States of America |
| VIII-4-1-5-4 | Citizenship: | US |
| VIII-4-1-5-5 | Inventor's Signature: (if not contained in the request, or if declaration is corrected or added under Rule 26ter after the filing of the international application. The signature must be that of the inventor, not that of the agent) |  |
| VIII-4-1-5-6 | Date (of signature which is not contained in the request, or of the declaration that is corrected or added under Rule 26ter after the filing of the international application) | 11/11/04 |

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| VIII-4-1-6-1 | Name (LAST, First) | YEE, Ying, Kwong |
| VIII-4-1-6-2 | Residence: (city and either US State, if applicable, or country) | Carmel, Indiana |
| VIII-4-1-6-3 | Mailing address. | 5127 Briarstone Trace Carmel, IN 46033 United States of America |
| VIII-4-1-6-4 | Citizenship | US |
| VIII-4-1-6-5 | Inventor's Signature: (if not contained in the request, or if declaration is corrected or added under Rule 26ter after the filing of the international application. The signature must be that of the inventor, not that of the agent) |  |
| VIII-4-1-6-6 | Date (of signature which is not contained in the request, or of the declaration that is corrected or added under Rule 26ter after the filing of the international application) | Nov. 11, 2004 |
| VIII-4-1-7-1 | Name (LAST, First) | RUPP, Michael, John |
| VIII-4-1-7-2 | Residence: (city and either US State, if applicable, or country) | Indianapolis, Indiana |
| VIII-4-1-7-3 | Mailing address. | 10003 Scotchpine Lane Indianapolis, IN 46256 United States of America |
| VIII-4-1-7-4 | Citizenship | US |
| VIII-4-1-7-5 | Inventor's Signature: (if not contained in the request, or if declaration is corrected or added under Rule 26ter after the filing of the international application. The signature must be that of the inventor, not that of the agent) |  |
| VIII-4-1-7-6 | Date (of signature which is not contained in the request, or of the declaration that is corrected or added under Rule 26ter after the filing of the international application) | 11/11/2004 |

X16541

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| IX | Check list | number of sheets | electronic file(s) attached |
|-------|--|---|-----------------------------|
| IX-1 | Request (including declaration sheets) | 13 | ✓ |
| IX-2 | Description | 171 | - |
| IX-3 | Claims | 136 | - |
| IX-4 | Abstract | 1 | ✓ |
| IX-5 | Drawings | 0 | - |
| IX-7 | TOTAL | 321 | |
| | Accompanying Items | paper document(s) attached | electronic file(s) attached |
| IX-8 | Fee calculation sheet | ✓ | - |
| IX-11 | Copy of general power of attorney | ✓ | - |
| IX-17 | PCT-SAFE physical media | - | ✓ |
| IX-18 | other | PCT International Application Cover Letter | |
| IX-18 | other | Delegation of Authority | |
| IX-18 | other | Return Receipt Postcard | |
| IX-19 | Figure of the drawings which should accompany the abstract | | |
| IX-20 | Language of filing of the International application | English | |
| X-1 | Signature of applicant, agent or common representative |  | |
| X-1-1 | Name | ELI LILLY AND COMPANY | |
| X-1-2 | Name of signatory | William R. Boudreaux | |
| X-1-3 | Capacity | Patent Attorney | |

X16541

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Original (for **SUBMISSION**)

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| 10-1 | Date of actual receipt of the purported international application | |
| 10-2 | Drawings: | |
| 10-2-1 | Received | |
| 10-2-2 | Not received | |
| 10-3 | Corrected date of actual receipt due to later but timely received papers or drawings completing the purported international application | |
| 10-4 | Date of timely receipt of the required corrections under PCT Article 11(2) | |
| 10-5 | International Searching Authority | ISA/EP |
| 10-6 | Transmittal of search copy delayed until search fee is paid | |

FOR INTERNATIONAL BUREAU USE ONLY

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|------|--|--|
| 11-1 | Date of receipt of the record copy by the International Bureau | |
|------|--|--|